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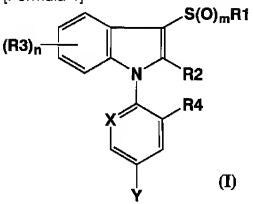
[Claim(s)]
[Claim 1] General formula (I)
[Formula 1]



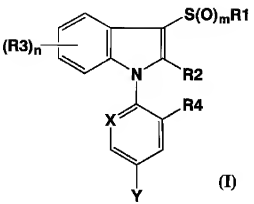
(I)

Among [type, X show CH, N, or C-halogen atom, and;Y A hydrogen atom, The C1-C5 alkyl group which may be replaced by the halogen atom, the C2-C5 alkenyl group which may be replaced by the halogen atom, The C2-C5 alkynyl group which may be replaced by the halogen atom, the C1-C5 alkoxyl group which may be replaced by the halogen atom, A halogen atom, a cyano group, or a nitro group is shown, and;R1 shows the C1-C5 alkoxyl group which may be replaced by the C1-C5 alkyl group or halogen atom which may be replaced by the halogen atom, and independently;R2, R3, and R4, respectively A hydrogen atom, The C1-C5 alkyl group which may be replaced by the halogen atom, the C2-C5 alkenyl group which may be replaced by the halogen atom, The C2-C5 alkynyl group, halogen atom which may be replaced by the halogen atom, A cyano group, a carboxyl group, the C1-C5 alkoxy carbonyl group that may be replaced by the halogen atom, The C1-C5 acyl group which may be replaced by the halogen atom, a nitro group, a cyanate group, a thio cyanate group, the C1-C5 alkoxyl group that may be replaced by the halogen atom, or S(O) kR5 (k showing 0,

1, or 2 here) the C1-C5 alkyl group by which R5 may be replaced by the halogen atom -- being shown -- the flea controlling agent characterized by being shown, for;m showing 0, 1, or 2, and;n containing N displacement indole derivatives expressed with] which shows 1, 2, 3, or 4.
[Claim 2] X of a general formula (I) -- N or C-halogen atom; -- C1-C by which Y may be replaced by the hydrogen atom and the halogen atom -- 5 alkyl group C1-C5 alkyl-group;R2 by which C1-C5 alkoxyl group or halogen atom;R1 which may be replaced by the halogen atom may be replaced by the halogen atom, R3, and R4 independently, respectively A hydrogen atom, The C1-C5 alkyl group, halogen atom which may be replaced by the halogen atom, A carboxyl group, the C1-C5 alkoxy carbonyl group which may be replaced by the halogen atom, The flea controlling agent according to claim 1 0, 1, or whose 2;n C1-C5 alkoxyl-group;m which may be replaced by the C1-C5 acyl group or halogen atom which may be replaced by the halogen atom is 1 or 2.
[Claim 3] C1-C3 alkyl-group;R2 by which C1-C3 alkyl-group;R1 by which N or C-Cl;Y is replaced for X of the general formula (I) by the halogen atom is replaced by the halogen atom, R3, and R4 independently, respectively A hydrogen atom, The flea controlling agent according to claim 1 0, 1, or whose 2;n C1-C3 alkyl-group or halogen atom;m which may be replaced by the halogen atom is 1.
[Claim 4] The compound of a general formula (I) 1-(3-chloro 5-trifluoro methylpyridine 2-IRU)-3-(dichloro fluoro methylthio) Indore, The flea controlling agent according to claim 1 which is 1-(2, 6-dichloro 4-trifluoro methylphenyl)-3-(dichloro fluoro methylthio) Indore or 1-(2, 6-dichloro 4-trifluoro methylphenyl)-3-(trifluoro methylthio) Indore.
[Claim 5] Claim 1 which is the flea to which the flea prevented is parasitic on a companion animal - a flea controlling agent given in any 1 clause of four.
[Claim 6] The shampoo agent for flea prevention of the breeding and extermination or rinse agent characterized by including the flea controlling agent of a description in Claim 1 - any 1 clause of five.
[Claim 7] Liquefaction drops for flea prevention of the breeding and extermination characterized by including the flea controlling agent of a description in Claim 1 - any 1 clause of five.

[Detailed Description of the Invention]
[0001]
[Field of the Invention] This invention relates to the flea controlling agent containing N displacement indole derivatives. Especially this controlling agent is applicable to extermination of the flea which is parasitic on companion animals, such as a dog and a cat.

[0002]
[Description of the Prior Art] Although medically important insect incidence rates, such as a fly, decreased sharply by the fast improvement of public health in recent years, the flea which is still parasitic on an animal especially humans, companion animals (a dog, a cat, etc.), etc. poses a problem. As the drugs for the prevention of the breeding and extermination An organic phosphorus system insecticide, the Cava mate system insecticide, a pyrethroid system insecticide, The drugs and the chloro nicotinyl system insecticide, for example, imidacloprid, called an IGR agent, The phenylpyrazole system insecticide (5-amino 1-(2, 6-dichloro 4-(trifluoromethyl) phenyl)-4-(trifluoromethyl) (sulfinyl)-1H-pyrazole 3-carbonitrile), for example, fipronil etc., is used.
[0003] On the other hand to a U.S. Pat. No. 3290332 gazette and JP,S55-151505,A, using N displacement indole derivatives as an antimicrobial agent is indicated. JP,H6-92935,A indicates N displacement indole derivatives about the use as insecticides, such as a cabbage moth and a planthopper. Moreover, in JP,2000-26409,A, although the heterocyclic substance of N-aryl / heteroaryl displacement is described, 3 place substituent of the Indore ring is only an annular substituent. Furthermore, using N displacement indole derivatives for a U.S. Pat. No. 5599774 gazette as a herbicide is indicated.
[0004]
[Problem(s) to be Solved by the Invention] The controlling agent of the flea which is parasitic on an animal cannot be said to be offering the safety based on sufficient selective toxicity to an application animal, and cannot necessarily be satisfied in the extermination effect and the field of an instantaneous effect. For example, fipronil is classified into the deleterious substance and we are anxious about the safety to an application animal. Moreover, when applying to a companion animal etc. by using N displacement indole derivatives as a flea controlling agent, user-friendly pharmaceutical preparation was not known, either.
[0005]
[Means for Solving the Problem] Insect-killing activity [as opposed to the flea of N displacement Indore compound in the bottoms of such a situation, and invention-in-this-application persons], And as a result of repeating examination wholeheartedly about the safety to mammalian, insect-killing activity and an instantaneous effect with an expensive compound expressed with a general formula **(I)** were shown, and it found out further that toxicity was low to the mammals, and resulted in this invention.
[0006] That is, this invention is (1) general formula **(I)**.
[0007]
[Formula 2]



(I)

[0008] Among [type, X show CH, N, or C-halogen atom, and;Y A hydrogen atom, The C1-C5 alkyl group which may be replaced by the halogen atom, the C2-C5 alkenyl group which may be replaced by the halogen atom, The C2-C5 alkynyl group which may be replaced by the halogen atom, the C1-C5 alkoxyl group which may be replaced by the halogen atom, A halogen atom, a cyano group, or a nitro group is shown, and;R1 shows the C1-C5 alkoxyl group which may be replaced by the C1-C5 alkyl group or halogen atom which may be replaced by the halogen atom, and independently;R2, R3, and R4, respectively A hydrogen atom, The C1-C5 alkyl group which may be replaced by the halogen atom, the C2-C5 alkenyl group which may be replaced by the halogen atom, The C2-C5 alkynyl group, halogen atom which may be replaced by the halogen atom, A cyano group, a carboxyl group, the C1-C5 alkoxy carbonyl group that may be replaced by the halogen atom, The C1-C5 acyl group which may be replaced by the halogen atom, a nitro group, a cyanate group, a thio cyanate group, the C1-C5 alkoxyl group that may be replaced by the halogen atom, or S(O) kR5 (k showing 0, 1, or 2 here) the C1-C5 alkyl group by which R5 may be replaced by the halogen atom -- being shown -- the flea controlling agent characterized by being shown, for;m showing 0, 1, or 2, and;n containing N displacement indole derivatives expressed with] which shows 1, 2, 3, or 4 [0009] (2) X of a general formula (I) -- N or C-halogen atom; -- Y -- a hydrogen atom -- The C1-C5 alkyl group which may be replaced by the halogen atom, the C1-C5 alkoxyl group which may be replaced by the halogen atom, Halogen atom; C1-C5 alkyl-group;R2 by which R1 may be replaced by the halogen atom, R3, and R4 independently, respectively A hydrogen atom, The C1-C5 alkyl group, halogen atom which may be replaced by the halogen atom, A carboxyl group, the C1-C5 alkoxy carbonyl group which may be replaced by the halogen atom, The flea controlling agent of the above-mentioned (1) description 0, 1, or whose 2;n C1-C5 alkoxyl-group;m which may be replaced by the C1-C5 acyl group which may be replaced by the halogen atom, and the halogen atom is 1 or 2 [0010] C1-C3 alkyl-group;R2 by which C1-C3 alkyl-group;R1 by which N or C-Cl;Y is replaced for X of the general formula (I) by the halogen atom is replaced by the halogen atom, R3, and R4 independently, respectively (3) A hydrogen atom, Even if replaced by the halogen atom Good C1-C3 alkyl group or a halogen atom; In m,

the compound of a flea controlling agent (4) general formula (I) given in 0, 1, or 2; above-mentioned [above-mentioned n is 1] (1) 1-(3-chloro 5-trifluoro methylpyridine 2-IRU)-3-(dichloro fluoro methylthio) Indore, The flea controlling agent of the above-mentioned (1) description which is 1-(2, 6-dichloro 4-trifluoro methylphenyl)-3-(dichloro fluoro methylthio) Indore or 1-(2, 6-dichloro 4-trifluoro methylphenyl)-3-(trifluoro methylthio) Indore [0011] (5) The shampoo agent for flea prevention of the breeding and extermination characterized by the flea prevented containing the flea controlling agent of a description in any 1 clause of above-mentioned (1) controlling-agent [flea] (6) above-mentioned (1) - (5) given in any 1 clause of - (4) which is the flea which is parasitic on a companion animal Or it is related with the liquefaction drops for flea prevention of the breeding and extermination characterized by including the flea controlling agent of a description in any 1 clause of rinse agent (7) above-mentioned (1) - (5).

[0012]

[Embodiment of the Invention] In X of the above-mentioned general formula (I), CH, N, or C-halogen atom;Y the flea controlling agent of this invention A hydrogen atom, The C1-C5 alkyl group which may be replaced by the halogen atom, the C2-C5 alkenyl group which may be replaced by the halogen atom, The C2-C5 alkynyl group which may be replaced by the halogen atom, the C1-C5 alkoxyl group which may be replaced by the halogen atom, C1-C5 alkoxyl-group;R2 which may be replaced by the C1-C5 alkyl group or halogen atom by which halogen atom, cyano group, or nitro group;R1 may be replaced by the halogen atom, R3, and R4 independently, respectively A hydrogen atom, The C1-C5 alkyl group which may be replaced by the halogen atom, the C2-C5 alkenyl group which may be replaced by the halogen atom, The C2-C5 alkynyl group, halogen atom which may be replaced by the halogen atom, A cyano group, a carboxyl group, the C1-C5 alkoxy carbonyl group that may be replaced by the halogen atom, The C1-C5 acyl group which may be replaced by the halogen atom, a nitro group, a cyanate group, a thio cyanate group, the C1-C5 alkoxyl group that may be replaced by the halogen atom, or S(O) kR5 (here, k is 0) 1 or 2 is shown and, as for R5,;m which shows the C1-C5 alkyl group which may be replaced by the halogen atom is characterized by 0, 1, or 2;n containing N displacement indole derivatives expressed with 1, 2, 3, or 4.

[0013] Although the halogen atom in this invention shows a fluorine atom, a chlorine atom, a bromine atom, and an iodine atom, a fluorine atom, a chlorine atom, or a bromine atom is desirable. Moreover, when two or more halogen atoms are included in a substituent, even if they are the same, they may differ. Although CH, N, or C-halogen atom is mentioned as X of the general formula (I) used for this invention, they are N or C-Cl especially preferably.

[0014] As C1-C5 alkyl group in Y of the general formula (I) used for this invention, the alkyl group of C1-C5 of a normal chain or branched chain is mentioned, and they are a methyl group, an ethyl group, a propyl group, an isopropyl group, butyl, tert-butyl, a pentyl machine,

etc. as an example. As an example of the C1-C5 alkyl group replaced by the halogen atom A chloromethyl machine, a dichloro methyl group, a fluoro methyl group, difluoromethyl group, a trifluoromethyl machine, a dichloro fluoro methyl group, a chlorodifluoromethyl group, a trichloromethyl machine, a pentafluoroethyl machine, etc. are mentioned.

[0015] As C2-C5 alkenyl group in Y of the general formula (I) used for this invention, for example, a vinyl group, An allyl group, an isopropenyl machine, a butenyl group, a pentenyl machine, etc. are mentioned. As C2-C5 alkenyl group replaced by the halogen atom, for example, a fluoro vinyl group, a chloro vinyl group, a bird chloro vinyl group, 3 and 3, 3-trifluoro propenyl machine, a 2-bromo 2-butenyl group, a perfluoro 2-methyl 2-pentenyl machine, etc. are mentioned. An ethynyl group, a propynyl machine, etc. are mentioned as C2-C5 alkynyl group in Y of the general formula (I) used for this invention, and for example, a chloro ethynyl group, a chloro propynyl machine, etc. are mentioned as C2-C5 alkynyl group replaced by the halogen atom.

[0016] As C1-C5 alkoxyl group in Y of the general formula (I) used for this invention The C1-C5 alkoxyl group of a normal chain or branched chain is mentioned, and a methoxy group, an ethoxy group, a propoxy group, an isopropoxy group, a butoxy machine, a tert-butoxy machine, etc. are mentioned as an example. As an example of the C1-C5 alkoxyl group replaced by the halogen atom, a chloro methoxy group, a bromo methoxy group, a dichloro fluoro methoxy group, a trifluoro methoxy group, a trifluoroethoxy machine, a pentafluoro ethoxy group, etc. are mentioned. The C1-C5 alkyl group which may be replaced by the hydrogen atom and the halogen atom preferably as Y of a general formula (I), It is the C1-C5 alkoxyl group or halogen atom which may be replaced by the halogen atom, and is the C1-C3 alkyl group which may be especially replaced by the halogen atom or the halogen atom preferably, and they are a chlorine atom, a bromine atom, or a trifluoromethyl machine still more preferably. The C1-C5 alkyl group replaced by the C1-C5 alkyl group and halogen atom in Above Y as C1-C5 alkyl group which may be replaced by the halogen atom in R1 of the general formula (I) used for this invention, and the same group are mentioned, and an example is also the same.

[0017] The C1-C5 alkoxyl group replaced by the C1-C5 alkoxyl group and halogen atom in Above Y as C1-C5 alkoxyl group which may be replaced by the halogen atom in R1 of the general formula (I) used for this invention, and the same group are mentioned, and an example is also the same. It is the C1-C5 alkyl group which may be replaced by the halogen atom preferably as R1 of a general formula (I). It is the C1-C3 alkyl group especially replaced by the halogen atom preferably, and they are specifically a trifluoromethyl machine, a dichloro fluoro methyl group, a chlorodifluoromethyl group, and a trichloromethyl machine.

[0018] As C1-C5 alkyl group which may be replaced by the halogen atom in R2 of the general formula (I) used for this invention, R3, and R4 The C1-C5 alkyl group replaced by the C1-C5

alkyl group and halogen atom in Above Y and the same group are mentioned, and an example is also the same. As C2-C5 alkenyl group which may be replaced by the halogen atom in R2 of the general formula (I) used for this invention, R3, and R4 The C2-C5 alkenyl group replaced by the C2-C5 alkenyl group and halogen atom in Above Y and the same group are mentioned, and an example is also the same. As C2-C5 alkynyl group which may be replaced by the halogen atom in R2 of the general formula (I) used for this invention, R3, and R4 The C2-C5 alkynyl group replaced by the C2-C5 alkynyl group and halogen atom in Above Y and the same group are mentioned, and an example is also the same.
[0019] As a C1-C5 alkoxy carbonyl group which may be replaced by the halogen atom in R2 of the general formula (I) used for this invention, R3, and R4 For example, a methoxycarbonyl group, an ethoxycarbonyl machine, a propoxy carbonyl group, a butoxycarbonyl machine, a tert-butoxycarbonyl machine, 2 and 2, 2-trifluoro ethoxycarbonyl machine, etc. are mentioned. As C1-C5 acyl group which may be replaced by the halogen atom in R2 of the general formula (I) used for this invention, R3, and R4 For example, a formyl group, an acetyl group, a propionyl machine, a BUCHIRIRU machine, an isobutyryl machine, a valeryl machine, a pivaloyl machine, a trifluoroacetyl machine, a trichloroacetyl machine, 3 and 3, 3-trifluoro propionyl machine, etc. are mentioned.
[0020] As C1-C5 alkoxyl group which may be replaced by the halogen atom in R2 of the general formula (I) used for this invention, R3, and R4 The C1-C5 alkoxyl group replaced by the C1-C5 alkoxyl group and halogen atom in Above Y and the same group are mentioned, and an example is also the same. As C1-C5 alkyl group which may be replaced by the halogen atom in Rof S(O) kR55 in R2 of the general formula (I) used for this invention, R3, and R4 The C1-C5 alkyl group replaced by the C1-C5 alkyl group and halogen atom in Above Y and the same group are mentioned, and an example is also the same. In addition, k can take 0, 1, or 2.

[0021] Preferably as R2 of a general formula (I), it is the C1-C5 alkyl group or halogen atom which is not replaced [a hydrogen atom and], and they are a hydrogen atom or a methyl group especially preferably.
[0022] It is the C1-C5 alkoxyl group, halogen atom, and cyano group which may be replaced by the hydrogen atom and the halogen atom preferably as R3 of a general formula (I), and they are a hydrogen atom, a fluorine atom, a chlorine atom, a bromine atom, a methoxy group, and a cyano group especially preferably. Moreover, about the displacement position, the 4th place of the Indore ring, the 5th place, or the 6th place is desirable, and especially the 5th place is desirable in it.
[0023] It is the C1-C5 alkoxyl group which may be replaced preferably as R4 of a general formula (I) by the C1-C5 alkyl group or halogen atom which may be replaced by the halogen atom and the halogen atom. They are a chlorine atom, a fluorine atom, a trifluoromethyl

machine, and a trifluoro methoxy group especially preferably. Although 0, 1, or 2 can be taken as m of the general formula (I) used for this invention, 0 or 2 is desirable. Although either 1, 2, 3 or 4 can be taken as n of the general formula (I) used for this invention, 1 or 2 is desirable and especially 1 is desirable.

[0024] As a compound of the general formula (I) used for the flea controlling agent of this invention 1-(3-chloro 5-trifluoro methylpyridine 2-IRU)-3-(dichloro fluoro methylthio) Indore, 1-(3-chloro 5-trifluoro methylpyridine 2-IRU)-3-(dichloro fluoro methylthio)-5-fluoro Indore, 1-(3-chloro 5-trifluoro methylpyridine 2-IRU)-3-(dichloro fluoro methylthio)-2-methylindole, 1-(2, 6-dichloro 4-trifluoro methylphenyl)-3-(dichloro fluoro methylthio) Indore, It is mentioned by 1-(2, 6-dichloro 4-trifluoro methylphenyl)-3-(trifluoro methylthio) Indore etc., and [especially] preferably 1-(3-chloro 5-trifluoro methylpyridine 2-IRU)-3-(dichloro fluoro methylthio) Indore, 1-(2, 6-dichloro 4-trifluoro methylphenyl)-3-(dichloro fluoro methylthio) Indore and 1-(2, 6-dichloro 4-trifluoro methylphenyl)-3-(trifluoro methylthio) Indore are mentioned.

[0025] In order to prevent a parasite simpler and effectively although only N displacement indole derivatives may be used as it is when using the compound of the above-mentioned general formula (I) as a flea controlling agent Liquefaction drops, liquids and solutions, a spray, foamy pharmaceutical preparation, a tablet, a granule, subtle granules, dust, It is desirable to medicate the whole application organism or a portion in the various modes permitted as **********, such as directions in pharmaceutical forms, such as a capsule, injections, a suppository, and a chewable agent, directions mixed to a shampoo agent or a rinse agent, directions taught to the collar, and directions mixed with feed. Liquefaction drops, a shampoo agent, or especially a rinse agent is especially desirable.

[0026] For example, liquefaction drops are N displacement indole derivatives 0.1 - 20 weight parts and a glycol, or a liquefied skin administration agent that carries out glycol monoalkyl ether 10-95 weight part content, and can make other components contain suitably as occasion demands. As other components, for example, methanol, ethanol, isopropanol, The liquefied carrier with which it mixes with glycols, such as alcohols, such as tert-butanol and benzyl alcohol, propylene carbonate, a N-methyl-2-pyrrolidone, and water, or glycol monoalkyl ether easily is mentioned.

[0027] These liquefaction drops are usually applied to an animal by the partial processing methods, such as spot-on treatment or pore-on treatment, and, thereby, can prevent ectoparasite efficiently. By dropping a liquefied ectoparasite controlling agent at the skin of the scapula back of an animal body etc., the spot-on processing method is a method of preventing ectoparasite. The pore-on processing method is a method of preventing ectoparasite, when a liquefied ectoparasite controlling agent is poured out along the mid-dorsal line of an animal and this controlling agent spreads subsequently to a body surface. The amount of application to the animal of this controlling agent is usually 0.001ml/kg - 10ml/kg as a constituent, and is

0.1mg/kg - 3000mg/kg as an amount of N displacement indole derivatives.
[0028] Moreover, although a spray is a liquefied ectoparasite controlling agent which carries out 10-95 weight part content of N displacement indole derivatives 0.1 - 20 weight parts, glycols or glycol monoalkyl ether, alcohols, and the surface active agent, it may contain other components suitably as occasion demands, for example. for example, as glycols or glycol monoalkyl ether Diethylene glycol monoethyl ether, propylene glycol, etc. can be illustrated, and methanol, ethanol, isopropanol, tert-butanol, benzyl alcohol, etc. can be illustrated as alcohols. As a surface active agent, anion system surface active agents, such as higher alcohol sodium sulfate, stearyl methylanmmonium chloride, polyoxyethylene alkyl phenyl ether, and lauryl betaine, a cation system surface active agent, and a zwitter ion system surface active agent are raised. The amount of application to the animal of this controlling agent is usually 0.1mg/kg - about 3000mg/kg per [to apply] animal and as a constituent as 0.01ml/kg - about 10ml [kg] /and an amount of N displacement indole derivatives.
[0029] N displacement indole derivatives can be subdivided suitably, it can mix with a diluent or Carrier, and a capsule, a pill, or the tablet can add disintegrator and/or binders, such as starch, lactose, a talc, and magnesium stearate, further, and can prepare them by tableting if needed.
[0030] Although preparation as a sterile solution is required for injections, the sufficient salt or grape sugar for making isotonic use other substance, for example, the solution, as blood may be contained in this. [usable liquid Carrier / ester /, such as glyceride, such as vegetable oils, such as sesame oil, and triacetin, benzyl benzoate, myristic acid isopropyl, and a fatty acid derivative of propylene glycol] Organic solvents, such as pyrolidone and a glycerol formal, are also contained. This pharmaceutical preparation is prepared by making it dissolve or suspend so that an active ingredient may be included 0.01 to 10weight % in the above-mentioned liquid carrier, for example.
[0031] Moreover, as a method of mixing and using it for a shampoo agent or a rinse agent, N displacement indole derivatives can be preferably included 0.1 to 2% in a commercial shampoo agent or a commercial rinse agent, and can also be prepared 0.01 to 10% to it. Moreover, the exclusive shampoo agent or rinse agent which consists of the component and N displacement indole derivatives of the shampoo agent usually used for animals or a rinse agent can also be prepared, and it is about 0.1 to 2% preferably about 0.01 to 10% as concentration of N displacement indole derivatives. Specifically, it is prepared, for example with N displacement indole derivatives, the solvent permitted, a solubilizing agent or an emulsifier, a detergent or a treatment agent, water, etc. Furthermore, an aromatic, a thickener or a viscosity modifier, a pH adjuster, etc. may also be included. As a solvent permitted, alcohols, such as a glycol or glycol monoalkyl ether, methanol, ethanol, isopropanol, tert-butanol, and benzyl alcohol, etc. are illustrated. Also about other pharmaceutical preparation,

the component needed for preparation of a constituent of the surface active agent generally known, a diluent, an additive, a stabilizer, etc. can be added and built.

[0032] Moreover, the flea controlling agent of this invention can also prescribe a medicine for the patient together with the food of an animal, therefore can also prepare the thing or premix added to the condensed food.

[0033] The flea controlling agent of this invention can also be mixed and used together with other insecticides, a nematicide, other ** flea agents, a synergist, etc. As these examples, for example Organic phosphorus system compounds, such as diazinon and DDVP (2 and 2-Dichlorovinyl-O, O-dimethylphosphate), The Cava mate system compounds, such as carbosulfan, cyclo pro thorin, ETOFEMPUROKUSU, Chloro nicotinyl system compounds, such as pyrethroid system compounds, such as allethrin and permethrin, and imidacloprid, Benzoyl urea system compounds, such as phenylpyrazole series compounds, such as fipronil, and RUFENURON, Juvenile hormone similar compounds, such as methoprene and pyriproxifen, clo MAFENOJIDO, Use with macrolide system compounds, such as hydrazine system compounds, such as tebufenozide, milbemycin, IBERUME cutin, MOKISHIDE cutin, and SERAME cutin, other buprofezin, aza-DIRA cutin, etc. is mentioned.

[0034] Can carry out by the usual method currently performed in each pharmaceutical preparation about the medication method of the above-mentioned pharmaceutical preparation, and as the dose Especially if it is the quantity in which an effect is demonstrated by prevention of the breeding and extermination of a flea without a side reaction, it will not be limited, but it is usually 0.01mg/kg - about 3000mg/kg, is 0.1mg/kg - about 1500mg/kg preferably, and is 1mg/kg - about 500mg/kg especially preferably.

[0035] The active principle remains in effective amount for an administration living thing, and the intervals of administration of the flea controlling agent of this invention change with a living thing kind, a trial compound, and manufactured types of medicine that what is necessary is just to set up the target effect from the period which can be demonstrated enough. For example, in liquefaction drops, about, from one month, it is about one year, and preferably, from one month, intervals of administration are six months and are three months from one month especially preferably.

[0036] Especially if the flea which can apply the flea controlling agent of this invention is a flea which is parasitic on an animal, it will not be limited, but [especially] the flea which is parasitic on a companion animal is mentioned. They are specifically HITONOMI (Pulex irritans), a dog flea (Ctenocephalides canis), a cat flea (Ctenocephalides felis), a rat flea, etc. Companion animals (companion animal) are usually things bred at home, such as a dog, a cat, a hamster, and a rabbit.

[0037] Next, the example of representation of a compound expressed with the above-mentioned general formula (I) used for this invention is shown in Table 1.

[0038]
Table 1 NO.XYmR1R2R3R4 n 1NCF3 0CCl2F HHCl 1 2NCF3 0CCl2F H5-FCl 1 3NCF3 0CCl2F H5-Cl Cl 1 4NCF3 0CCl2F H5-Br Cl 1 5NCF3 0CCl2F H5-OCH3 Cl 1 6N CF3 0 CCl2F H 5-CN Cl 1 7 N CF3 0 CCl2F H 4-Cl Cl 1 8NCF3 0CCl2F H6-Cl Cl 1 9NCF3 0CF3HHCl 1 10NCF3 0CF3H5-Cl Cl 1 11NCF3 0CCl3 HHCl 1 12NCF3 0CCl3 H5-Cl Cl 1 13NCl0CCl2F HHCl 1 14 N CF3 0 CCl2F CH3 H Cl 1 15 N CF3 1 CCl2F H H Cl 1 16NCF3 2CCl2F HHCl 1 17CCl CF3 0CCl2F HHCl 1 18CCl CF3 0CCl2F H5-FCl 1 19CCl CF3 0CCl2F H5-Cl Cl 1 20CCl CF3 0CCl2F H5-Br Cl 1 21CCl CF3 0CCl2F H5-OCH3 Cl 1 22CCl CF3 0 CCl2F H 5-CN Cl 1 23 CCl CF3 0 CCl2F H 4-C l Cl 1 24CCl CF3 0CCl2F H6-Cl Cl 1 25CCl CF3 0CF3HHCl 1 26CCl CF3 0CF3H5-Cl Cl 1 27CCl CF3 0CCl3 HHCl 1 28CCl CF3 0CCl3 H5-Cl Cl 1 29CCl Cl0CCl2F HHCl 1 30 CCl CF3 0 CCl2F CH3 H Cl 1 31 CCl CF3 1 CCl2F H H Cl 1 32 CCl CF3 2 CCl2F H H Cl 1 [0039]
[Example] Although the extermination effect of a flea, the emulsion and liquefaction drops which used N displacement indole derivatives for below, and a shampoo agent and a rinse agent are shown as a work example, the invention in this application is not limited to this work example.
[0040] Work example 1 The mixture solution of an emulsion dimethyl sulfo KISASHIDO 85 weight part, a xylene 85 weight part, and the new cull gene 900 (made by Takemoto fats-and-oils company) 20 weight part was carried out. The amount part of compound 10 of No.17 of Table 1 or No.25 was mixed in this mixed solution 90 weight part, and it was considered as the emulsion.
[0041] Work example 2 The mixture solution of a liquefaction drops diethylene-glycol-monoethyl-ether 75 weight part and the ethanol 15 weight part was carried out. The amount part of compound 20 of No.17 or No.25 was mixed in this mixed solution 80 weight part, and it was considered as liquefaction drops 20%. Liquefaction drops were prepared 10% and 30% similarly.
[0042] Work example 3 The compound of No.25 of Table 1 is added to the shampoo for the object for dogs, or cats or rinse of a shampoo agent and rinse agent marketing 1%, and it fully agitates, and is made uniform. Thus, the shampoo agent for flea prevention of the breeding and extermination or the rinse agent for flea prevention of the breeding and extermination is obtained.
[0043] Work example 4 Effect (1) over the cat flea of N displacement indole derivatives Each compound was dissolved in the acetone solution so that it might become prescribed concentration, and the 0.1ml was dropped at the bottom of 2.8cm in diameter, and 12cm in height the glass inner tube, and it was air-dry. After air-drying, ten cat flea imagos were put into the glass inner tube, and it covered by the nylon mesh, and put gently under room temperature:26 degree C and a humidity:80% condition. Knockdown (KD) 3 hours after and

the life and death of 24 or 48 hours after were judged, and a knockdown rate and mortality were computed. A test result is shown in Table 2 about compound No.1 of Table 1, and 2, 3, 14, 17, 19, 25 and 32. Fipronil was used as positive control. It considered no drugs processing as control.

[0044]

Table 2 compound (mg/tube) 3 hours after (KD) One day after (mortality) Two days after (mortality) 11801001000.101001000.010701000.00101040 2101001000.10 100 100 0.01 0 10 50 0.00 1000 31050900.1040700.01010200.00102020 14101001000. 10 100 100 0.01 0 50 100 0.001 02030 171501001000.101001000.010701000.00101040 19101001000.1060 100 0.01 0 30 90 25 1 100 901000.1101001000.010701000.0010030 32101001000. 10301000.010020 0.001 0 0 0 Fipronil 1 0 100 1000. 101001000.01020900.00102020 control-00 N displacement indole derivatives of zero compound No.1, No.17, and No.25 are the low concentration of 0.01mg, and are about 70% of the mortality of a cat flea in one day. Having been shown expresses the high insect-killing activity and the high instantaneous effect of N displacement indole derivatives.

[0045] Work example 5 Effect (2) over the cat flea of N displacement indole derivatives Compound No.17 and fipronil were dissolved so that it might become 10% to a liquefaction drops pharmaceutical preparation base material (mixed liquor of a diethylene-glycol-monoethyl-ether 75 weight part and an ethanol 15 weight part), and 0.5ml of the dissolution solution was dropped at the carapace part of the cat on which 30 cat fleas were made parasitic one day ago. Till dropping and 8 hours after, the flea which fell from the cat object top every 2 hours was counted, the accumulation fall rate was computed, the flea which fell 24 more hours afterward was counted, and the accumulation fall rate of an one day after was computed. Moreover, flea powder GUSHI was used two days after dropping, and the number of survival fleas on a cat object was counted. A test result is shown in Table 3.

[0046]

table 3 an accumulation fall rate (%)

Compound 2 hours after 4 hours after 6 hours after 8 hours after 17015 after 24 hours 37 47 100 Fipronil 0 3 20 27 90 Compound No.17 are Flea from Cat Object Top in Fast-acting. The effect to drop was shown. In addition, the flea after fall died within several hours. Three fleas which died were accepted 24 hours afterward on the body of the cat which, on the other hand, trickled the fipronil used as control.

[0047] Work example 6 Effect (3) over the cat flea of N displacement indole derivatives Compound No.17 were dissolved so that it might become 10% to a liquefaction drops pharmaceutical preparation base material (mixed liquor of a diethylene-glycol-monoethyl-ether 75 weight part and an ethanol 15 weight part), and 0.5ml of the dissolution solution was dropped at the carapace part of the cat. 30 cat flea imagos were made parasitic on a cat object

after dropping and a predetermined week. Flea powder GUSHI was used after parasitism on the 2nd, and the number of survival fleas on a cat object was counted. A test result is shown in Table 4.

[0048]

It is the number of survival fleas on the 2nd (animal) after the number of weeks 12468 parasitism after table 4 administration. 0 0 0 6 13 compound No.17 are until after four weeks. The flea was made to die completely, six animals were accepted six weeks afterward and survival of 13 fleas was accepted eight weeks afterward among 30 animals. That is, the residual effectiveness of No.17 was a **** and a long period of time about six weeks.

[0049] Example 1 of an examination The compound or fipronil of the toxicity test table 1 to the mouse of N displacement indole derivatives was dissolved in olive oil so that it might become prescribed concentration, and a medicine was directly prescribed for the patient into the stomach of a std:ddy system male mouse using the sonde. The administration dose was carried out in 30mg [kg] /and kg and 100mg /. Life and death were observed 3 hours after administration and 1, 7, and 14 days afterward. A test result is shown in Table 5 about compound No.14 of Table 1, and 17 and 25.

[0050]

Seven days after one day after 3 hours after a table 5 accumulation mortality (number-of-deaths and number of sample offerings) compound dose (mg/kg) 14 days after 14300/50/50/50/51000/50/50/50/5 17300/50/50/50/51000/50/50/50/5 25 30 0/5 0/5 0/5 0/5 100 0/5 0/5 0/50/5 fipronil 300/51/51/5 1/5 100 1/5 5/5 5/5 5/5 exam is that N displacement indole derivatives are low toxicity to a mouse. It is shown.

[0051] Example 2 of an examination Toxicity test compound No.17 to the cat of N displacement indole derivatives It dissolved so that it might become 10%, 20%, and 30% to a liquefaction drops pharmaceutical preparation base material (mixed liquor of a diethylene-glycol-monoethyl-ether 75 weight part and an ethanol 15 weight part), and 0.5ml spot-on dropping of the dissolution solution was carried out at the carapace part of the cat. The clinical symptoms of the cat were observed after dropping. A test result is shown in Table 6.

[0052]

20 views that table 6 compound dropping concentration (%) clinical-symptoms 1710 view was not accepted were not accepted. 30 The unusual view by spot-on dropping of 10 of compound No.17 in which the view was not accepted, and the solution for 20 or 30% liquefaction drops was not accepted, and the influence of drugs was not accepted. This shows that compound No.17 are low toxicity also to a cat.

[0053]

[Effect of the Invention] The flea controlling agent containing N displacement indole derivatives of this invention has an extermination effect by the flea which is parasitic on an animal, and

suggests that that an extermination effect strong against the cat flea which has extended the parasitism host especially besides the cat is shown these days has the extermination effect which was excellent in flea prevention of the breeding and extermination of a companion animal etc., and an instantaneous effect. The infection to animal bodies, such as illness which a flea mediates, occurs, and that an instantaneous effect is shown means hardships. Moreover, the flea controlling agent of this invention is equipped also with the high usefulness that it is low toxicity, to the mammals including a pet. Furthermore, a user-friendly flea controlling agent is offered by making it an emulsion, liquefaction drops, and a shampoo agent and a rinse agent.

[Translation done.]